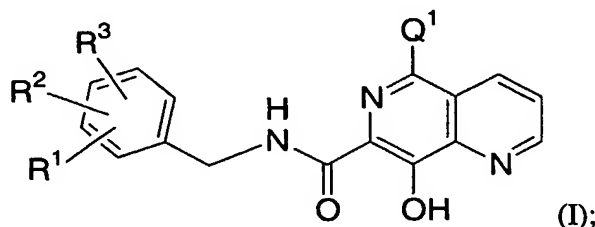


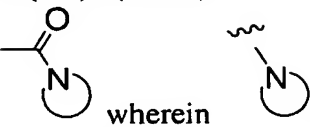
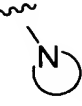
## WHAT IS CLAIMED IS:

1. A pharmaceutical composition comprising a therapeutically effective amount of a compound of Formula (I), or a pharmaceutically acceptable salt thereof:



and a nonionic surfactant; wherein in Formula (I) each of R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> is independently:

- (1) -H,
- (2) -C<sub>1-6</sub> alkyl, optionally substituted with one substituent which is -OH, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, -CN, -NO<sub>2</sub>, -N(R<sup>a</sup>R<sup>b</sup>), -C(=O)N(R<sup>a</sup>R<sup>b</sup>), -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>c</sup>, -OCO<sub>2</sub>R<sup>c</sup>, -S(O)<sub>n</sub>R<sup>c</sup>, -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -N(R<sup>a</sup>)C(=O)R<sup>b</sup>, -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>c</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>c</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -OC(=O)N(R<sup>a</sup>R<sup>b</sup>), or -N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>R<sup>b</sup>),
- (3) -O-C<sub>1-6</sub> alkyl, optionally substituted with one substituent which is -OH, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, -S(O)<sub>n</sub>R<sup>c</sup>, -N(R<sup>a</sup>)-CO<sub>2</sub>R<sup>c</sup>, -C(=O)N(R<sup>a</sup>R<sup>b</sup>), -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -N(R<sup>a</sup>)C(=O)R<sup>b</sup>, -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>c</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>c</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -OC(=O)N(R<sup>a</sup>R<sup>b</sup>), or -N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>R<sup>b</sup>),
- (4) -C<sub>1-6</sub> haloalkyl,
- (5) -O-C<sub>1-6</sub> haloalkyl,
- (6) -OH,
- (7) halo,
- (8) -NO<sub>2</sub>,
- (9) -CN,
- (10) -C(=O)R<sup>a</sup>,
- (11) -CO<sub>2</sub>R<sup>c</sup>,
- (12) -S(O)<sub>n</sub>R<sup>c</sup>,
- (13) -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>),

- (14)  $-N(R^aR^b)$ ,  
 (15)  $-C(=O)N(R^aR^b)$ ,  
 (16)  wherein  is azetidinyl, pyrrolidinyl, piperidinyl, or morpholino,  
 5 (17)  $-N(R^a)SO_2R^c$ ,  
 (18)  $-OC(=O)N(R^aR^b)$ ,  
 (19)  $-N(R^a)C(=O)N(R^aR^b)$ ,  
 (20)  $-N(R^a)-C_{1-6}$  alkyl- $C(=O)N(R^aR^b)$ ,  
 (21)  $-N(R^a)-C_{1-6}$  alkyl- $SR^a$ ,  
 10 (22)  $-N(R^a)-C_{1-6}$  alkyl- $OR^a$ ,  
 (23)  $-N(R^a)-C_{1-6}$  alkyl- $N(R^a)_2$ ,  
 (24)  $-N(R^a)-C_{1-6}$  alkyl- $N(R^a)-C(R^a)=O$ ,  
 (25)  $-N(R^a)-C(=O)-C_{1-6}$  alkyl- $N(R^aR^b)$ ,  
 (26)  $-N(R^a)C(=O)-C(=O)N(R^aR^b)$ ,  
 15 (27)  $-OCO_2R^c$ ,  
 (28)  $-N(R^a)-SO_2N(R^aR^b)$ ,  
 (29)  $-N(R^a)-SO_2-C_{1-6}$  alkyl- $N(R^aR^b)$ ,  
 (30)  $-N(R^a)C(=O)R^b$ ,  
 (31)  $-N(R^a)CO_2R^c$ ,  
 20 (32)  $-S-C_{1-6}$  alkyl- $C(=O)N(R^aR^b)$ ,  
 (33)  $-N(SO_2R^c)-C_{1-6}$  alkyl- $C(=O)N(R^aR^b)$ ,  
 (34)  $-N(R^a)-C(=O)-C_{1-6}$  alkyl- $C(=O)N(R^aR^b)$ ,  
 (35)  $-N(R^a)-C(=O)-C_{1-6}$  alkyl- $N(R^a)C(=O)(R^b)$ ,  
 (36)  $-N(R^a)-SO_2-C_{1-6}$  alkyl- $C(=O)N(R^aR^b)$ ,  
 25 (37)  $-N(R^a)-SO_2-C_{1-6}$  alkyl- $N(R^a)C(=O)(R^b)$ ,  
 (38)  $-C(=O)N(R^a)-C_{1-6}$  alkyl- $C(=O)N(R^aR^b)$ ,  
 (39)  $-C(=O)N(R^a)-C_{1-6}$  alkyl- $N(R^a)C(=O)(R^b)$ , with the proviso that the  
 $-N(R^a)-$  moieties are not both attached to the same carbon atom of the  
 $-C_{1-6}$  alkyl- moiety,  
 30 (40)  $-C(=O)N(R^a)-C_{1-6}$  alkyl- $O-C_{1-3}$  alkyl, with the proviso that the  
 $-N(R^a)-$  moiety and the  $-O-C_{1-3}$  alkyl group are not both attached to  
 the same carbon atom of the  $-C_{1-6}$  alkyl- moiety, or  
 (41)  $-C(=O)N(R^a)-C_{1-6}$  alkyl- $S(O)_nR^c$ ;

Q<sup>1</sup> is:

- (1) -H,
- (2) -C(=O)N(R<sup>a</sup>R<sup>b</sup>),
- 5 (3) -C<sub>1-6</sub> alkyl-C(=O)N(R<sup>a</sup>R<sup>b</sup>),
- (4) -S-C<sub>1-6</sub> alkyl-C(=O)N(R<sup>a</sup>R<sup>b</sup>),
- (5) -O-C<sub>1-6</sub> alkyl-C(=O)N(R<sup>a</sup>R<sup>b</sup>),
- (6) -N(R<sup>a</sup>)-C(R<sup>b</sup>)=O,
- (7) -N(SO<sub>2</sub>R<sup>c</sup>)-C<sub>1-6</sub> alkyl-C(=O)N(R<sup>a</sup>R<sup>b</sup>),
- 10 (8) -N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>R<sup>b</sup>),
- (9) -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>c</sup>,
- (10) -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>),
- (11) -CH=CH-C(=O)-N(R<sup>a</sup>R<sup>b</sup>),
- (12) -N(R<sup>a</sup>)-C<sub>1-6</sub> alkyl-C(=O)N(R<sup>a</sup>R<sup>b</sup>),
- 15 (13) -N(R<sup>a</sup>)-C(=O)-N(R<sup>a</sup>R<sup>b</sup>),
- (14) -HetC,
- (15) -C<sub>1-6</sub> alkyl-HetC, or
- (16) -N(R<sup>a</sup>)-C<sub>1-6</sub> alkyl-HetC;

- 20 HetC is a 5- to 7-membered saturated heterocyclic ring containing from 1 to 4 heteratoms independently selected from N, O and S, wherein the saturated heterocyclic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-4</sub> alkyl, -C<sub>3-6</sub> cycloalkyl, -O-C<sub>1-4</sub> alkyl, -C<sub>1-4</sub> haloalkyl, -O-C<sub>1-4</sub> haloalkyl, -CN, oxo, phenyl, benzyl, phenylethyl,
- 25 -(CH<sub>2</sub>)<sub>0-3</sub>C(=O)N(R<sup>a</sup>R<sup>b</sup>), -(CH<sub>2</sub>)<sub>0-3</sub>C(=O)R<sup>a</sup>, -N(R<sup>a</sup>)-C(=O)R<sup>b</sup>, N(R<sup>a</sup>)-CO<sub>2</sub>R<sup>c</sup>, -(CH<sub>2</sub>)<sub>1-3</sub>N(R<sup>a</sup>)-C(=O)R<sup>b</sup>, -N(R<sup>a</sup>R<sup>b</sup>), -(CH<sub>2</sub>)<sub>1-3</sub>N(R<sup>a</sup>R<sup>b</sup>), -SO<sub>2</sub>R<sup>c</sup>, -(CH<sub>2</sub>)<sub>0-3</sub>C(=O)-HetD, -HetD, -N(R<sup>a</sup>)-HetD, and -(CH<sub>2</sub>)<sub>1-3</sub>-HetD; wherein each HetD is independently a 5- or 6-membered heteroaromatic ring containing from 1 to 4 nitrogen atoms or a 5- or 6-membered saturated heterocyclic ring containing from 1 to
- 30 4 nitrogen atoms, wherein the ring is optionally substituted with 1 or 2 substituents each of which is independently halogen, oxo, -C<sub>1-4</sub> alkyl, or -O-C<sub>1-4</sub> alkyl;

each R<sup>a</sup> is independently -H, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, or -C<sub>3-6</sub> cycloalkyl;

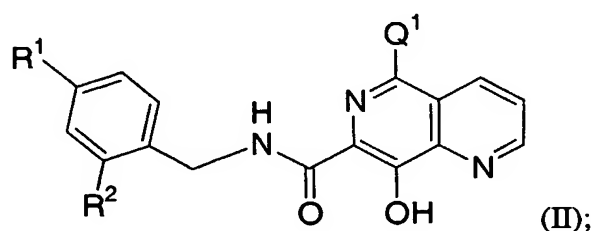
- 35 each R<sup>b</sup> is independently -H, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, or -C<sub>3-6</sub> cycloalkyl;

each  $R^c$  is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, or -C<sub>3-6</sub> cycloalkyl; and

each  $n$  is independently an integer equal to zero, 1, or 2.

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2. The pharmaceutical composition according to claim 1, wherein the compound is a compound of Formula (II) or a pharmaceutically acceptable salt thereof:



10 and a nonionic surfactant; wherein in Formula (II) each of  $R^1$  and  $R^2$  is independently:

- (1) -H,
- (2) -C<sub>1-4</sub> alkyl,
- (3) -(CH<sub>2</sub>)<sub>1-3</sub>-C(=O)N(R<sup>a</sup>R<sup>b</sup>),
- 15 (4) -(CH<sub>2</sub>)<sub>1-3</sub>-N(R<sup>a</sup>R<sup>b</sup>),
- (5) -(CH<sub>2</sub>)<sub>1-3</sub>-CO<sub>2</sub>R<sup>c</sup>,
- (6) -(CH<sub>2</sub>)<sub>1-3</sub>-S(O)<sub>n</sub>R<sup>c</sup>,
- (7) -(CH<sub>2</sub>)<sub>1-3</sub>-SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>),
- (8) -O-C<sub>1-4</sub> alkyl,
- 20 (9) -CF<sub>3</sub>,
- (10) -OCF<sub>3</sub>,
- (11) halo selected from -F, -Cl, and -Br,
- (12) -CO<sub>2</sub>R<sup>c</sup>,
- (13) -S(O)<sub>n</sub>R<sup>c</sup>,
- 25 (14) -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>),
- (15) -N(R<sup>a</sup>R<sup>b</sup>), or
- (16) -C(=O)N(R<sup>a</sup>R<sup>b</sup>);

with the proviso that at least one of  $R^1$  and  $R^2$  is not -H;

$Q^1$  is -H, -C(=O)N(R<sup>a</sup>R<sup>b</sup>), -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>c</sup>, or 1,1-dioxido-1,2-thiazinan-2-yl;

each R<sup>a</sup> is independently -H, -C<sub>1-4</sub> alkyl, or cyclopropyl;

5

each R<sup>b</sup> is independently -H, -C<sub>1-4</sub> alkyl, or cyclopropyl; and

each R<sup>c</sup> is independently a -C<sub>1-4</sub> alkyl or cyclopropyl.

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3. The pharmaceutical composition according to claim 2, wherein the compound of Formula (II) is Compound A or a sodium salt of Compound A, wherein Compound A is 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide.

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4. The pharmaceutical composition according to any one of claims 1 to 3, wherein the nonionic surfactant is present in an amount of at least about 0.1 wt. %

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5. The pharmaceutical composition according to any one of claims 1 to 4, wherein the nonionic surfactant comprises a poloxamer.

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6. The pharmaceutical composition according to any one of claims 1 to 5, wherein the composition is encapsulated.

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7. The pharmaceutical composition according to claim 6, wherein the encapsulated composition is a granulated composition further comprising a disintegrant, optionally a diluent, optionally a binder, optionally a lubricant, and optionally an antioxidant.

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8. The pharmaceutical composition according to claim 6, wherein the compound in the encapsulated composition is Compound A or a sodium salt thereof; and the encapsulated composition further comprises a disintegrant.

9. The pharmaceutical composition according to any one of claims 1 to 5, wherein the composition is compressed into a tablet.

10. The pharmaceutical composition according to claim 9, wherein the compressed tablet further comprises a diluent, a disintegrant, a lubricant, optionally a binder, and optionally an antioxidant.

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11. The pharmaceutical composition according to claim 9, wherein the compound in the compressed tablet is Compound A or a sodium salt thereof; and the compressed tablet further comprises diluent A, a disintegrant, and a lubricant.

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12. The pharmaceutical composition according to claim 9, wherein the compound in the compressed tablet is Compound A or a sodium salt thereof; and the compressed tablet further comprises a diluent, a disintegrant, a lubricant, and a stabilizing agent.

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13. The encapsulated composition according to claim 7, wherein:  
the compound is Compound A or a sodium salt thereof;  
the nonionic surfactant comprises a poloxamer or a polysorbate;  
the disintegrant comprises croscarmellose sodium, crospovidone, povidone, or sodium starch glycolate;  
the optional diluent comprises lactose, microcrystalline cellulose, mannitol, anhydrous dibasic calcium phosphate, dibasic calcium phosphate dihydrate, or a combination of any two of the foregoing;  
the optional binder comprises hydroxypropyl cellulose, hydroxypropyl methylcellulose, or povidone;  
the optional lubricant comprises magnesium stearate, stearic acid, sodium stearyl fumarate, or a combination of any two of magnesium stearate, stearic acid, and sodium stearyl fumarate; and  
the optional antioxidant comprises butylated hydroxyanisole.

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14. The encapsulated composition according to claim 7, wherein the compound is Compound A or a sodium salt thereof; and the composition comprises from about 5 to about 80 wt.% Compound A sodium salt, from about 0.1 to about 20 wt.% nonionic surfactant, from about 0.5 to about 10 wt.% disintegrant, from 0 to about 90 wt.% diluent, from 0 to about 20 wt.% binder, from 0 to about 10 wt.% lubricant, and from 0 to about 0.1 wt.% antioxidant.

15. The compressed tablet composition according to claim 10,  
wherein:

- 5           the compound is Compound A or a sodium salt thereof;  
          the nonionic surfactant comprises a poloxamer or a polysorbate;  
          the diluent comprises lactose, microcrystalline cellulose, or both  
lactose and microcrystalline cellulose;  
          the disintegrant comprises croscarmellose sodium, crospovidone,  
povidone, or sodium starch glycolate;  
10          the lubricant comprises magnesium stearate, stearic acid, sodium  
stearyl fumarate, or a combination of any two of magnesium stearate, stearic acid and  
sodium stearyl fumarate;  
          the optional binder comprises hydroxypropyl cellulose, hydroxypropyl  
methylcellulose, or povidone; and  
15          the optional antioxidant comprises butylated hydroxyanisole.

16. The compressed tablet composition according to claim 10,  
wherein the compound is Compound A or a sodium salt thereof; and the compressed  
tablet comprises from about 5 to about 75 wt.% Compound A sodium salt, from about  
20 0.1 to about 20 wt.% nonionic surfactant, from about 15 to about 90 wt.% diluent,  
from about 0.5 to about 10 wt.% disintegrant, from about 0.2 to about 10 wt.%  
lubricant, from 0 to about 10 wt.% binder, and from 0 to about 0.1 wt.% antioxidant.

17. A method for preparing an encapsulated pharmaceutical  
25 composition according to claim 8, wherein the method comprises:

- (A) wet granulating a mixture of Compound A or Compound A  
sodium salt, the nonionic surfactant, and the disintegrant; and optionally then milling  
the wet granulated mixture;  
(B) drying the wet granulated mixture of Step A;  
30 (C) milling the dried mixture of Step B; and  
(D) encapsulating the milled mixture of Step C.

18. The method according to claim 17, wherein the encapsulated  
composition further comprises a diluent, a lubricant, a binder, and an antioxidant;  
35 wherein the mixture employed in granulation Step A comprises Compound A or its

sodium salt, the nonionic surfactant, the disintegrant, the diluent, the binder, and the antioxidant; and wherein the method further comprises lubricating the milled mixture from Step C with the lubricant prior to encapsulation in Step D.

5                   19.     The method according to claim 18, wherein Compound A is employed in the form of a sodium salt; the nonionic surfactant is poloxamer; the diluent is lactose and microcrystalline cellulose; the disintegrant is croscarmellose sodium; the binder is hydroxypropyl cellulose; the lubricant is sodium stearyl fumarate; and the antioxidant is BHA.

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                  20.     The method according to claim 19, wherein the encapsulated composition comprises from about 5 to about 40 wt.% Compound A sodium salt, from about 0.5 to about 15 wt.% poloxamer 407, from about 10 to about 40 wt.% lactose, from about 10 to about 40 wt.% microcrystalline cellulose, from about 0.5 to about 5 wt.% croscarmellose sodium, from about 0.2 to about 6 wt.% sodium stearyl fumarate, from about 0.1 to about 20 wt.% hydroxypropyl cellulose; and from about 0.01 to about 0.1 wt.% BHA.

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                  21.     A method for preparing a compressed tablet pharmaceutical composition according to claim 11, wherein the method comprises:

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(A)     wet granulating a mixture of Compound A or Compound A sodium salt, the nonionic surfactant, diluent A, the disintegrant; and optionally then milling the wet granulated mixture;

                  (B)     drying the wet granulated mixture of Step A;

25               (C)     milling the dried mixture of Step B; and

(D)     lubricating the milled mixture of Step C with the lubricant; and

(E)     compressing the lubricated mixture of Step D into a tablet.

                  22.     The method according to claim 21, wherein the compressed tablet composition further comprises diluent B, optionally a binder, and optionally an antioxidant; and wherein the mixture employed in granulation Step A comprises Compound A or its sodium salt, the nonionic surfactant, the disintegrant, diluent A, diluent B, the binder (optional), and the antioxidant (optional).

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23. The method according to claim 21, wherein the compressed tablet composition further comprises diluent B, optionally a binder, and optionally an antioxidant; wherein the mixture employed in granulation Step A comprises Compound A or its sodium salt, the nonionic surfactant, the disintegrant, diluent A, the binder (optional), and the antioxidant (optional); and wherein the method further comprises blending the milled mixture of Step C with diluent B prior to lubrication in Step D.

24. The method according to claim 21, wherein Compound A is employed in the form of a sodium salt; the nonionic surfactant is poloxamer; diluent A is lactose; the disintegrant is croscarmellose sodium; and the lubricant is magnesium stearate or sodium stearyl fumarate.

25. The method according to claim 22, wherein Compound A is employed in the form of a sodium salt; the nonionic surfactant is poloxamer; diluent A is lactose; the disintegrant is croscarmellose sodium; the lubricant is magnesium stearate or sodium stearyl fumarate; diluent B is microcrystalline cellulose; the binder is employed and is hydroxypropyl cellulose; and the optional antioxidant is BHA.

26. The method according to claim 23, wherein Compound A is employed in the form of a sodium salt; the nonionic surfactant is poloxamer; diluent A is lactose; the disintegrant is croscarmellose sodium; the lubricant is magnesium stearate or sodium stearyl fumarate; diluent B is microcrystalline cellulose; the binder is employed and is hydroxypropyl cellulose; and the optional antioxidant is BHA.

27. The method according to claim 24, wherein the compressed tablet comprises from about 10 to about 70 wt.% Compound A sodium salt, from about 0.5 to about 10 wt.% poloxamer, from about 10 to 50 wt.% lactose, from about 10 to about 50 wt.% microcrystalline cellulose, from about 0.5 to about 10 wt.% croscarmellose sodium, from about 0.2 to about 6 wt.% magnesium stearate or sodium stearyl fumarate, from about 0.5 to about 10 wt.% hydroxypropyl cellulose, and from 0 to about 0.1 wt.% BHA.

28. A method for preparing a compressed tablet pharmaceutical composition according to claim 12, wherein the method comprises:

(A) freeze-drying a suspension of Compound A or its sodium salt, the nonionic surfactant, and the stabilizing agent;

(B) dry mixing the freeze-dried product of Step A with the diluent, disintegrant, and lubricant; and

5 (C) compressing the mixture of Step B into a tablet.

29. A method for inhibiting HIV integrase in a subject in need of such inhibition, which comprises administering to the subject the pharmaceutical composition according to claim 1.

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30. A method for preventing or treating HIV infection or for preventing, treating or delaying the onset of AIDS in a subject in need thereof, which comprises administering to the subject the pharmaceutical composition according to claim 1.

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